three times with 50ml of saturated sodium hydrogen carbonate solution. The dichloromethane solution was washed with brine, dried over anhydrous sodium sulphate, filtered and evaporated to give 1.61g of 2',3',5'-tri-O-benzoyl-L-uridine as a white solid; mass spectrum m/z 557 $[M+]^+$.

b) A solution of 1.80g of 1,2,4-triazole was prepared in 25ml of anhydrous acetonitrile by warming. The solution was stirred at room temperature under nitrogen while 0.86g of phosphorus oxychloride was added. A white suspension was obtained which was cooled to 5°C in ice and treated with 3.46ml of triethylamine during 4 min followed dropwise by a solution of 1.61g of 2',3',5'-tri-O-benzoyl-L-uridine in 25ml of anhydrous acetonitrile during 2 min. The mixture was stirred at room temperature for 2.5 hours then treated with a further 2.41ml of triethylamine followed by 0.63ml of water and stirred for 10 min. The mixture was diluted with 150ml of dichloromethane and washed with a 10% solution of sodium hydrogen carbonate and brine. The dichloromethane solution was dried over anhydrous sodium sulphate, filtered and evaporated to give 1.6g of a yellow powder. This was purified by flash chromatography on silica gel using ethyl acetate/isohexane (1:9) for the elution to give 1.12 of 1-(2,3,5-tri-O-benzoyl-β-L-ribofuranosyl)-4-(1,2,4-triazol-1-yl)pyrimidin-2(1H)-one as a white solid of melting point 83-84°C; mass spectrum m/z 608 $[M+H]^+$.

c) A suspension of 0.43g of hydroxylamine hydrochloride in 15ml of anhydrous methanol was treated with 4.96ml of a 1M solution of sodium methoxide in methanol. After stirring for 10 min a solution of 0.75g of 1-(2,3,5-tri-O-benzoyl-β-L-ribofuranosyl)-4-(1,2,4-triazol-1-yl)pyrimidin-2(1H)-one in a mixture of 20ml of methanol and 20ml of tetrahydrofuran was added and the mixture stirred at room temperature overnight. The mixture was evaporated and the residue purified by flash chromatography on silica gel using methanol/dichloromethane 1:24 for the elution to give 0.605g of 1-(2,3,5-tri-O-benzoyl-β-L-ribofuranosyl)-4(3H)-oximinopyrimidin-2(1H)-one as a white solid; mass spectrum m/z 572$[M+H]^+$.

Example 239

In an analogous manner to that described in example 238 was prepared 4-oximino-1-(β-D-ribofuranosyl)pyrimidin-2(1H)-one (I.Wempen et al, J.Med.Chem.,1968, 11, 144); mass spectrum (ESI) m/z 260$[M+H]^+$.

Example 240

In an analogous manner to that described in example 238 was prepared 4-oximino-1-(β-D-arabinofuranosyl)pyrimidin-2(1H)-one, (I.Wempen et al, J.Med.Chem.,1968, 11, 144).

Example 241

In an analogous manner to that described in example 238 was prepared 5-fluoro-4-oximino-1-(β-D-ribofuranosyl)pyrimidin-2(1H)-one); mass spectrum m/z 319 $[M+H]^+$.

Example 242

By the procedure of S. L. Anliker et al, J. Pharm. Sci., 1994, 83, 716 was prepared 1-(2-deoxy-2,2-difluoro-α-D-erythropentofuranosyl)uracil.

Example 243

By the procedure of S. L. Anliker et al, J. Pharm. Sci., 1994, 83, 716 was prepared 1-(2-deoxy-2,2-difluoro-β-D-erythropentofuranosyl)cytosine.

Example 244

By the procedure of E Moyroud and P Strazewcki, Tetrahedron, 1999, 55, 1277 was prepared L-cytidine or according the following experimental method:

A solution of 0.40g of 1-(2,3,5-tri-O-benzoyl-β-L-ribofuranosyl)-4-(1H-1,2,4-triazol-1-yl)-1H-pyrimidin-2-one in 10ml of 1,4-dioxane was treated with 0.5ml of 35% aqueous ammonia solution and stirred at room temperature for 12 hours. The reaction mixture was concentrated under reduced pressure to leave a white solid which was purified by flash chromatography on silica gel using dichloromethane/methanol (1:24 then 1:9) to give 0.22g of 2',3',5'-tri-O-benzoyl-L-cytidine. This was dissolved in 2ml of anhydrous methanol and treated with 100µl of 1M sodium methoxide solution. The reaction mixture was stirred for 16 hours then evaporated and the residue purified by flash chromatography on silica gel using dichloromethane/methanol(9:1 then 3:2) for the elution to give 80mg of L-cytidine as a white solid; mass spectrum(ESI) m/z 301 $[M+H+MeCN]^+$.

The 1-(2,3,5-tri-O-benzoyl-β-L-ribofuranosyl)-4-(1H-1,2,4-triazol-1-yl)-1H-pyrimidin-2-one used as the starting material was prepared as follows:

a) A mixture containing 1.0g of uracil and 1.5g of 1-O-acetyl-2,3,5-tri-O-benzoyl-L-ribose in 50ml of anhydrous acetonitrile was treated with 1.82g of N,O-bis-trimethylsilylacetamide and heated at 76°C under nitrogen until a clear solution was obtained. 0.98g of trimethylsilyl trifluoromethanesulphonate was then added in one portion and heating at 70°C continued for 16 hours. The mixture was cooled and diluted with 500ml of dichloromethane. The solution was washed three times with 50ml of saturated sodium hydrogen carbonate solution and brine then dried over anhydrous sodium sulphate, filtered and evaporated to give 1.61g of 2',3',5'-tri-O-benzoyl-L-uridine as a white solid which was used without further purification.

b) To a solution of 1.80g of 1,2,4-triazole in 25ml of anhydrous acetonitrile at room temperature under nitrogen was added 0.86g of phosphorus oxychloride. The mixture was cooled in a bath of ice and stirred for 15 min then treated with 2.53g (3.46ml) of triethylamine during 4 min. The ice bath was removed and a solution of 1.61g of 2',3',5'-tri-O-benzoyl-L-uridine in 25ml of anhydrous acetonitrile added dropwise during 2 min. The reaction mixture was stirred at room temperature under nitrogen for 2.5 hours then a further 2.41ml of triethylamine added followed by 0.63ml of water. After stirring for 10 min the reaction mixture was diluted with 150ml of dichloromethane and washed with a 10% aqueous solution of sodium hydrogen carbonate. The dichloromethane solution was washed with brine then dried over anhydrous sodium sulphate. Evaporation gave 1.61g of solid which was purified by flash chromatography on

silica gel using ethyl acetate/isohexane (1:9) for the elution to give 1.12g of 1-(2,3,5-tri-O-benzoyl-β-L-ribofuranosyl)-4-(1H-1,2,4-triazol-1-yl)-1H-pyrimidin-2-one as a white solid; mass spectrum (ESI) m/z 608 $[M+H]^+$.

Example 245

A solution of 55mg of 1-[1(R)-2,2-difluoro-3(R)-acetoxy-4(R)-(acetoxymethyl)-cyclopentyl]-4-(1H-1,2,4-triazol-1-yl)-1H-pyrimidin-2-one in 35% aqueous ammonia was stirred at room temperature for 14 hours. The reaction mixture was concentrated under reduced pressure and the residue purified by chromatography on silica gel using dichloromethane/methanol (5:1) for the elution to give 35mg of 1-[1(R)-2,2-difluoro-3(R)-hydroxy-4(R)-(hydroxymethyl)cyclopentyl]-4-amino-1H-pyrimindin-2-one as colourless crystals; mass spectrum (ESI) m/z 262 $[M+H]^+$; ^{1}H NMR (270MHz, DMSO-d_6) 1.71(1H, m), 1.90 (1H, m), 1.99 (1H, m), 3.45(1H, m), 3.55 (1H, m), 3.80 (1H, m), 4.73 (1H, t), 5.22 (1H, m), 5.68 (1H, d), 5.71 (1H, d), 7.15 (1H, br.s), 7.18 (1H, br.s), 7.56 (1H, d).

The 1-[1(R)-2,2-difluoro-3(R)-acetoxy-4(R)-(acetoxymethyl)-cyclopentyl]-4-(1H-1,2,4-triazol-1-yl)-1H-pyrimidin-2-one used as the starting material was prepared as follows:

a) A solution of 28g of (3aS,4R,7S,7aR)-tetrahydro-2,2-dimethyl-4,7-methano-1,3-dioxolo[4,5-c]pyridin-6(3aH)-one, in 300ml of 10% methanolic hydrogen chloride was stirred at ambient temperature for 3 days. The reaction mixture was concentrated under reduced pressure to ca 100ml and cooled in a refrigerator. The white precipitate was collected and washed with methanol to give a first crop of 25.44g of (1S,2R,3S,4R)-4-amino-2,3-dihydroxy-cyclopentanecarboxylic acid methyl ester hydrochloride. The combined mother liquor and washings were concentrated and recrystallised from methanol to give 4.30g of a second crop; ^{1}H NMR (270MHz, DMSO-d_6) 1.68 (1H, dddd), 2.22 (1H, dddd), 3.2-3.35 (1H, br.m), 3.62 (3H, s), 3.80-3.90 (1H, br.m), 4.00-4.10 (1H, br.m), 5.20 (1H, br.s), 5.30 (1H, br.s), 8.39 (3H, br.s).

b) To a solution of 28.6g of (1S,2R,3S,4R)-4-amino-2,3-dihydroxy-cyclopentanecarboxylic acid methyl ester hydrochloride and 35.36g of di-t-butyl dicarbonate in 400ml of dioxane was added 27.2g of sodium hydrogen

carbonate dissolved in a minimum volume of water and the reaction mixture was stirred at ambient temperature for 36 hours. The reaction mixture was filtered and the filter washed thoroughly with 300ml of acetone. The filtrate and washings were concentrated under reduced pressure to ca 100ml and the residue partitioned between 300ml of ethyl acetate and 100ml of water. The water layer was extracted further with 300ml of ethyl acetate. The combined organic extracts were dried over anhydrous sodium sulphate, filtered and concentrated under reduced pressure. The residue was recrystallised from 200ml of diethyl ether to give 34.9g of (1S,2R,3S,4R)-4-t-butoxycarbonylamino-2,3-dihydroxy-cyclopentanecarboxylic acid methyl ester as colourless crystals; H^1 NMR (270MHz, $CDCl_3$) 1.45 (9H, s), 1.60-1.75 (1H, m), 2.35-2.45 (1H, m), 2.93 (1H, ddd), 3.10 (1H, br.s), 3.71 (3H, s), 3.80-3.95 (2H, m), 4.28 (1H, m), 4.65 (1H, br.s), 4.88 (1H, br.s).

c) To a solution of 33.77g of (1S,2R,3S,4R)-4-t-butoxycarbonylamino-2,3-dihydroxy-cyclopentanecarboxylic acid methyl ester in 300ml of anhydrous tetrahydrofuran was added dropwise a solution of 4.0g of lithium borohydride in 100ml of anhydrous tetrahydrofuran and the reaction mixture stirred for 2 hours at ambient temperature. The excess lithium borohydride was decomposed by addition of 10ml of water and stirring for a short time. The reaction mixture was dried over anhydrous sodium sulphate, filtered and the filter washed thoroughly with tetrahydrofuran. The combined filtrate and washings were concentrated under reduced pressure and dried under vacuum to give crude (1R,2S,3R,5R)-3-t-butoxycarbonylamino-5-hydroxymethyl-cyclopentan-1,2-diol which was redissolved in 100ml of dioxane and treated dropwise with 300ml of a 4M solution of hydrogen chloride in dioxane. The reaction mixture was stirred at ambient temperature for 14 hours. The solvent and volatile materials were removed by purging with nitrogen gas and then evaporation under reduced pressure. The residue was rinsed twice with 100ml of n-hexane then dried under vacuum to give crude (1R,2S,3R,5R)-3-amino-5-hydroxymethyl-cyclopentan-1,2-diol hydrochloride. A solution of this and 22.8g of 2,4-dinitro-fluorobenzene in 100ml of absolute N,N-dimethyl formamide was treated with sodium hydrogen carbonate and the suspension stirred at ambient temperature for 5 hours. The reaction mixture was filtered and the filter washed thoroughly with methanol. The combined filtrate and washings were concentrated under reduced pressure and the residue purified by chromatography on silica gel using dichloromethane /methanol(9:1 to 4:1)

for the elution to give 30.15g of (1R,2S,3R,5R)-3-[(2,4-dinitrophenyl)amino)-5-hydroxymethyl-cyclopentan-1,2-diol as an amorphous yellow solid; ^{1}H NMR (270MHz, DMSO-d_6) 1.32 (1H, ddd), 1.95-2.05 (1H, m), 2.35 (1H, ddd), 3.44 (2H, s), 3.70-3.85 (2H, m), 3.99 (1H, ddd), 4.62 (1H, br.t), 4.78 (1H, br.d), 5.03 (1H, br.d), 7.33 (1H, d), 8.27 (1H, dd), 8.67 (1H, d), 8.86 (1H, d).

d) To a solution of 30.15g of (1R,2S,3R,5R)-3-[(2,4-dinitrophenyl)amino)-5-hydroxymethyl-cyclopentan-1,2-diol and 19.69g of imidazole in 150ml of dry N,N-dimethylformamide was added in portions tetra-isopropyl dichlorosiloxane. The reaction mixture was stirred at room temperature under argon for 14 hours then poured into 500ml of water and extracted twice with 400ml of ethyl acetate. The combined organic extracts were washed twice with 300ml of brine, dried over anhydrous sodium sulphate, filtered and evaporated to give yellow sticky crystals which were recrystallised from n-hexane to give 43.23g of 2[(2,4-dinitrophenyl)amino-5,5,7,7-tetraisopropyl-hexahydro-4,6,8-trioxa-5,7-disila-cyclopentacyclooctene-3-ol in two crops.

e) To a solution of 2.0g of 2[(2,4-dinitrophenyl)amino-5,5,7,7-tetraisopropyl-hexahydro-4,6,8-trioxa-5,7-disila-cyclopentacyclooctene-3-ol in 15ml of dry acetonitrile was added 4.0g of 1,1,1-triacetoxy-1,1-dihydro-1,2-benziodoxol-3(1H)-one and the suspension stirred at 40°C under argon for 14 hours. The reaction mixture was diluted with 40ml of saturated sodium hydrogen carbonate solution and extracted twice with 50ml of dichloromethane. The combined organic extracts were washed successively with 40ml of saturated sodium hydrogen carbonate solution and 40ml of brine then dried over anhydrous sodium sulphate, filtered and evaporated. The yellow amorphous residue which was purified by chromatography on silica gel using n-hexane/ethyl acetate (4:1) for the elution to give 1.50g of 2-[(2,4-dinitrophenyl)amino)-5,5,7,7-tetraisopropyl-hexahydro-4,6,8-trioxa-5,7-disila-cyclopentacyclooctene-3-one; ^{1}H NMR (270MHz, $CDCl_3$)1.02-1.15 (28H, m), 1.55-1.62(1H, br.m), 2.16-2.28(1H, m), 2.54-2.66 (1H, m), 3.93 (1H, dd), 4.14 (1H, dd), 4.20 (1H, m), 4.30 (1H, d), 7.17 (1H, d), 8.28 (1H, dd), 8.63 (1H, br.d), 9.14 (1H, d).

f) To an ice-cooled solution of 6.24ml of diethylamino sulphur trifluoride complex in 24ml of dry dichloromethane was added dropwise over 10 min a solution of 2.0g of 2-[(2,4-dinitrophenyl)amino)-5,5,7,7-tetraisopropyl-hexahydro-4,6,8-trioxa-5,7-disila-cyclopentacyclooctene-3-one in 24ml of dry

dichloromethane. The mixture was stirred at 0°C under argon for 4 hours then poured into 100ml of sodium hydrogen carbonate solution and extracted three times with 100ml of dichloromethane. The combined extracts were washed successively with three portions of 200ml of sodium bicarbonate solution and twice with 100ml of brine then dried over anhydrous sodium sulphate, filtered and evaporated . The dark yellow amorphous residue was purified by chromatography on silica gel using n-hexane/dichloromethane (1:1) for the elution to give 0.59g of (3,3-difluoro-5,5,7,7-tetraisopropyl-hexahydro-4,6,8-trioxa-5,7-disilacyclopentacyclooctene-2-yl)(2,4-dinitrophenyl)amine; ^{1}H NMR (270MHz, CDCl3) 1.02-1.15 (28H, m), 1.60-1.72 (1H, br.m), 2.02-2.16 (1H, m), 2.36-2.48 (1H, m), 3.80 (1H, dt), 4.05 (1H, dd), 4.10-4.20 (2H, m), 7.05 (1H, d), 8.28 (1H, dd), 8.50 (1H, br.d), 9.14 (1H, d).

g) To an ice-cooled solution of 0.677g of (3,3-difluoro-5,5,7,7-tetraisopropyl-hexhydro-4,6,8-trioxa-5,7-desilacyclopentacyclooctene-2-yl)-(2,4-dinitrophenyl)amine in 15ml of tetrahydrofuran was added 2.5ml of a 1M solution of tetrabutylammonium fluoride in tetrahydrofuran and the reaction mixture was stirred at 0°C under an atmosphere of argon for 3 hours. The reaction mixture was concentrated under reduced pressure and the residue was partitioned between 40ml of ethyl acetate and 50ml of water. The water layer was extracted further with three portions of 40ml of ethyl acetate. The combined extracts were washed with 30ml of brine , dried over anhydrous sodium sulphate, filtered and evaporated. The residue was purified by chromatography on silica gel using dichloromethane/ methanol (20:1) for the elution to give 0.364g of (1R,3R,5R)-3-[(2,4-dinitrophenyl)amino]-2,2-difluoro-5-(hydroxymethyl)cyclopentanol as a pale yellow solid; ^{1}H NMR (270MHz, $CDCl_3$) 1.70 (1H, m), 2.22 (1H, m), 2.54 (1H, m), 3.70-3.90 (3H, m), 4.18 (1H, m), 4.40 (1H, m), 4.66 (1H, d), 7.21 (1H, d), 8.31 (1H, dd), 8.78 (1H, br.d), 9.11 (1H, d).

h) To a solution of 0.36g of (1R,3R,5R)-3-[(2,4-dinitrophenyl)amino]-2,2-difluoro-5-(hydroxymethyl)cyclopentanol in 20ml of 75% aqueous acetone was treated with 1.0g of Dowex-1 ion-exchange resin , which had been thoroughly washed successively with 1M sodium hydroxide solution, distilled water and methanol prior to use. The reaction mixture was stirred at ambient temperature for 24 hours. The resin was filtered off and thoroughly washed with approximately 100ml of 75% aqueous acetone. The combined filtrate was concentrated under reduced pressure to remove acetone and the resulting

aqueous solution acidified with 2ml 1M hydrochloric acid. The aqueous solution was washed twice with 20ml of ethyl acetate then lyophilised to give 0.134g of (1R,3R,5R)-3-amino-2,2-difluoro-5-(hydroxymethyl)-cyclopentanol hydrochloride as a colourless powder.

i) To a solution of 0.127g of (1R,3R,5R)-3-amino-2,2-difluoro-5-(hydroxymethyl)-cyclopentanol hydrochloride in 2ml of anhydrous N,N-dimethylformamide were added freshly desiccated 4°A molecular sieves. The mixture was stirred at-30°C for 30 minutes then treated with 2.5ml of a 0.427M solution of 3-ethoxy-2-propenoyl isocyanate. The mixture was stirred at at-30°C for 30 minutes and then at room temperature fro 14 hours. The reaction mixture was concentrated under reduced pressure and the residue purified by chromatography on silica gel using dichloromethane/methanol(9:1 then 5:1)) for the elution to give 0.157g of 1-[1(R)-2,2-difluoro-3(R)-hydroxy-4(R)-(hydroxymethyl)cyclopentyl]-3(3-ethoxy-E-2-propenoyl)urea as a colourless solid; ^{1}H NMR (270MHz, DMSO-d_6) 1.23 (3H, t), 1.78-1.91 (1H, m), 2.04-2.15 (1H, m), 3.38-3.45 (2H, m), 3.60-3.75 (1H, m), 3.96 (2H,q), 4.22-4.44 (1H, m), 4.72 (1H, t), 5.51 (1H, d), 5.63 (1H, d), 7.59 (1H, d), 8.80 (1H, s). 10.21 (1H, s).

j) A solution of 0.15g of 1-[1(R)-2,2-difluoro-3(R)-hydroxy-4(R)-(hydroxymethyl)cyclopentyl]-3(3-ethoxy-E-2-propenoyl)urea in 4ml of 5% aqueous sulphuric acid was boiled under reflux for 3 hours. The reaction mixture was neutralised by addition of sodium hydroxide solution then concentrated under reduced pressure. The residue was suspended in 35ml of absolute ethanol and filtered. The material on the filter was washed three times with 35ml of absolute ethanol and the combined filtrate concentrated under reduced pressure to give 0.215g of crude 1-[1(R)-2,2-difluoro-3(R)-hydroxy-4(R)-(hydroxymethyl)cyclopentyl]-1H-pyrimidine-2,4-dione as a colourless powder which was used without further purification.

k) To a solution of 0.215g of crude 1-[1(R)-2,2-difluoro-3(R)-hydroxy-4(R)-(hydroxymethyl)cyclopentyl]-1H-pyrimidine-2,4-dione in 3ml of acetic anhydride was added 5mg of 4-dimethylaminopyridine and the reaction mixture stirred at room temperature for 14 hours. The mixture was concentrated under reduced pressure and the residue partitioned between 30ml of ethyl acetate and sodium hydrogen carbonate solution. The aqueous layer was extracted twice more with 30ml of ethyl acetate. Combined extracts were washed with 30ml of brine, dried over anhydrous sodium sulphate, filtered and

evaporated. The residue was triturated with t-butyl methyl ether to give 0.148g of 1-[1(R)-2,2-difluoro-3(R)-acetoxy-4(R)-(acetoxymethyl)cyclopentyl]-1H-pyrimidine-2,4-dione, which was used without further purification.

l) To a solution of 0.128g of 1-[1(R)-2,2-difluoro-3(R)-acetoxy-4(R)-(acetoxymethyl)cyclopentyl]-1H-pyrimidine-2,4-dione and 0.128g of 1,2,4-1H-triazole in dry pyridine was added dropwise 180µl of 4-chlorophenyl dichlorophosphate and the reaction mixture stirred at room temperature for 14 hours. The reaction mixture was concentrated under reduced pressure and the residue partitioned between 30ml of ethyl acetate and sodium hydrogen carbonate solution. The aqueous layer was extracted twice more with 30ml of ethyl acetate and the combined extracts washed with 30ml of brine, then dried over anhydrous sodium sulphate, filtered and evaporated. The residue was purified by chromatography using ethyl acetate for the elution to give 0.112g of 1-[1(R)-2,2-difluoro-3(R)-acetoxy-4(R)-(acetoxymethyl)cyclopentyl]-4-(1H-1,2,4-triazol-1yl)-1H-pyrimidin-2-one; ^{1}H NMR (270MHz, $CDCl_3$) 1.86 (1H, m), 2.11 (3H, s), 2.18 (3H, s), 2.30-2.50 (1H, m), 2.50-2.70 (1H, m), 4.15-4.25 (2H, m), 5.19 (1H, ddd), 5.55-5.75 (1H, m), 7.12(1H, d), 7.93 (1H, d), 8.15 (1H, s), 9.29 (1H, s).

Example 246

Starting with 1(R)-amino-2(S),3(R)-diacetoxy-4(R)-acetoxymethylcyclopentane in manner analogous to that described by Y. F. Shealy and C. A. O'Dell, J. Heterocyclic Chem., 1980,17, 353 was prepared 4-amino-1(R)-(2(S),3(R)-dihydroxy-4(R)-hydroxymethyl-cyclopentyl)-1H-pyrimidin-2-one; mass spectrum(ESI) m/z 242 $[M+H]^+$.

Example 247

The compound may be prepared according to G. Gosselin et al, J. Med. Chem. 1987, 30960, 982. A solution of 0.283g of 1-(3-O-acetyl-2,5-bis-O-t-butyldimethylsilyl-β-D-xylofuranosyl)cytosine and 0.245g of ammonium fluoride in 5 ml of anhydrous methanol was stirred and heated at 50-60°C under nitrogen for 24 hours. The solution was evaporated and the white solid residue purified by

flash chromatography on silica gel using methanol/dichloromethane (1:19 to 2:3) for the elution to give 50mg of 1-(β-D-xylofuranosyl)cytosine; mass spectrum(ESI) m/z 244 $[M+H]^+$.

The 3-O-acetyl-2,5-bis-O-t-butyldimethylsilyl-1-(β-D-xylofuranosyl)cytosine used as the starting material was prepared as follows:

a) A solution of 0.5g of 1-(2,5-bis-O-t-butyldimethylsilyl-β-D-xylofuranosyl)uracil (prepared according to F. Hansske, D. Madej and M. J. Robins, Tet., 1984, 40, 125) in 5ml of anhydrous pyridine was treated with 120µl of acetic anhydride and stirred at room temperature for 30 hours. A further 120 µl of acetic anhydride was added and stirring continued for a further 3 days. The reaction mixture was treated with 0.2 ml of water and then evaporated. The pale yellow oily residue was taken up in 70 ml of dichloromethane and the solution washed with three 10 ml portions of 1M hydrochloric acid then dried over anhydrous sodium sulphate , filtered and evaporated to give 0.53g of 1-(3-O-acetyl-2,5-bis-O-t-butyldimethylsilyl-β-D-xylofuranosyl)uracil as a pale yellow oil which was used without further purification.

b) A solution of 0.629g of 1,2,4-triazole in 15 ml of anhydrous acetonitrile was treated with 182 µl of phosphorus oxychloride. A white suspension formed which was cooled in ice for 15 min then treated with 1.21 ml of triethylamine. The ice bath was removed while a solution of 0.52 g of 1-(3-O-acetyl-2,5-bis-O-t-butyldimethylsilyl-β-D-xylofuranosyl)uracil in 10 ml of dry acetonitrile was added dropwise over 3 minutes. The reaction mixture was stirred at room temperature under nitrogen overnight then diluted with dichloromethane and washed with saturated sodium hydrogen carbonate solution. The dichloromethane solution was dried over anhydrous sodium sulphate, filtered and evaporated. The residue was purified by flash chromatography on silica gel using ethyl acetate/isohexane (1:9 to 3:5) for the elution to give 0.286g of 1-(3-O-acetyl-2,5-bis-O-tert-butyldimethylsilyl-β-D-ribofuranosyl)-4-(1-triazolyl)pyrimidin-2(1H)-one; mass spectrum(ESI) m/z 566 $[M+H]^+$.

c) A solution of 0.28g of 1-(3-O-acetyl-2,5-bis-O-t-butyldimethylsilyl-β-D-ribofuranosyl)-4-(1-triazolyl)pyrimidine-2(1H)-one in 10 ml of 1,4-dioxane was treated with 0.5 ml of concentrated aqueous ammonia solution and stirred at room temperature for 12 hours then evaporated to yield 0.25g of 1-(3-O-

acetyl-2,5-bis-O-t-butyldimethylsilyl-β-D-xylofuranosyl)cytosine as a white solid; mass spectrum(ESI) m/z 514 $[M+H]^+$.

Example 248

The compound may be prepared according to H. Hayakawa et al, Chem. Pharm.Bull., 1990, 38(5), 1136. A mixture of 0.3g of 1-(3-deoxy-3-fluoro-2,5-bis-O-triphenylmethyl-β-D-xylofuranosyl)uracil (prepared according to H. Hayakawa et al, Chem. Pharm. Bull., 1990, 38, 1136) and 80% acetic acid was stirred and heated at 100° C for 5 hours then evaporated to dryness. The residue was redissolved in 10 ml of distilled water and the solution washed with three 5ml portions of diethyl ether. The aqueous solution was evaporated to dryness and the residue purified by flash chromatography on silica gel using methanol/dichloromethane (1:19 to 1:12) for the elution to give 53 mg of 1-(3-deoxy-3-fluoro-β-D-xylofuranosyl)uracil; mass spectrum(CI) m/z 246 $[M+H]^+$.

Example 249

The compound may be prepared according to J. A. Wright, D. P. Wilson and J. J. Fox, J. Med. Chem. 1970, 13(2), 269. A solution of 0.2g of 1-(3-deoxy-3-fluoro-2,5-bis-O-triphenylmethyl-β-D-xylofuranosyl)cytosine in 5 ml of dry methanol was stirred with 1.2g of Amberlyst 15 ion exchange resin for 5 hours. The resin was filtered off and washed with methanol then suspended in 10ml of methanol/1M ammonia solution(1:1) and stirred for 30 min. The mixture was filtered and the resin washed thoroughly with methanol. The filtrate was evaporated to a glass which was purified by flash chromatography on silica gel using methanol/dichloromethane (1:4) for the elution to give 13 mg of 1-(3-deoxy-3-fluoro-β-D-xylofuranosyl)cytosine; mass spectrum(ESI) m/z 246 $[M+H]^+$.

The 1-(3-deoxy-3-fluoro-2,5-bis-O-triphenylmethyl-β-D-xylofuranosyl)cytosine used as the starting material was prepared as follows:

a) A solution of 1.71g of 1,2,4-triazole in 20ml of anhydrous acetonitrile was stirred under nitrogen and treated with 0.47 ml of phosphorus oxychloride to give a milky suspension which was cooled to <5°C for 15 min then treated with 3.2 ml of triethylamine. After allowing to warm to room temperature a

suspension of 2.0g of 1-(3-deoxy-3-fluoro-2,5-bis-O-triphenylmethyl-β-D-xylofuranosyl)uracil (prepared according to H. Hayakawa et al, Chem. Pharm. Bull., 1990, 38, 1136) in 15 ml of acetonitrile was added and the mixture stirred at room temperature for 24 hours. The mixture was diluted with dichloromethane and washed with saturated sodium hydrogen carbonate solution. The dichloromethane solution was dried over anhydrous sodium sulphate, filtered and evaporated. The residue was purified by flash chromatography on silica gel using ethyl acetate/isohexane (1:1) for the elution to give 0.5g of 1-(3-deoxy-3-fluoro-2,5-bis-O-triphenylmethyl-β-D-xylofuranosyl)-4-(1,2,4-triazolyl)pyrimidin-2(1H)-one as a white solid; mass spectrum (ESI) m/z 782 $[M+H]^+$.

b) A solution of 0.5g of 1-(3-deoxy-3-fluoro-2,5-bis-O-triphenylmethyl-β-D-xylofuranosyl)-4-(1,2,4-triazolyl)pyrimidin-2(1H)-one in 10 ml of 1,4-dioxane was treated with 1 ml of concentrated ammonia solution and stirred at room temperature for 16 hours. The solution was evaporated to dryness and the residue purified by flash chromatography on silica gel using ethyl acetate/isohexane (1:1) for the elution to give 0.23g of 1-(3-deoxy-3-fluoro-2,5-bis-O-triphenylmethyl-β-D-xylofuranosyl)cytosine; mass spectrum (CI) m/z 731 $[M+H]^+$.

Example 250

The compound may be prepared according to R. Z. Sterzycki, M. M. Mansuri and J. C. Martin, Eur. Pat. Appl. (1990) EP 391411. A solution of 55mg of 4-N-acetyl-1-(3-acetoxymethyl-2,3-di-O-acetyl-3-deoxy -β-D-ribofuranosyl)cytosine in 0.5 ml of anhydrous methanol was treated with 0.05ml of 1M sodium methoxide solution and stirred at room temperature for 5 hours. The solution was neutralised by addition of a few drops of glacial acetic acid and evaporated. The residue was purified by recrystallisation from methanol/ethyl acetate to give 3'-deoxy-3'-hydroxymethylcytidine as a white solid; mass spectrum (ESI) m/z 258$[M+H]^+$.

The 4-N-acetyl-1-(3-acetoxymethyl-2,3-di-O-acetyl-3-deoxy -β-D-ribofuranosyl)cytosine used as the starting material was prepared as follows:

A mixture of 0.3 g of 3-acetoxymethyl-1,2,5-tri-O-acetyl-3-deoxy-β-D-ribofuranose (prepared by the procedure of R. M. Sterzycki et al Eur.Pat.Appl. 391411), 0.457g of N-acetylcytosine and 0.74 ml of bis-trimethylsilylacetamide in 15 ml of anhydrous acetonitrile was heated under reflux for 2.5 hours to give a clear solution. The solution was cooled and treated with 0.28 ml of trimethylsilyl trifluoromethanesulphonate then heated at 50°C for 3 days. The pale yellow solution was diluted with 100 ml of ethyl acetate and washed with 50 ml of 1M hydrochloric acid, 50 ml of saturated sodium hydrogen carbonate then brine. The solution was dried over anhydrous magnesium sulphate, filtered and evaporated. The residue was purified by flash chromatography on silica gel using methanol/dichloromethane (1:19) for the elution to give 55 mg of 4-N-acetyl-1-(3-acetoxymethyl-2,3-di-O-acetyl-3-deoxy-β-D-ribofuranosyl)cytosine; mass spectrum (ESI) 426$[M+H]^+$.

Example 251

The compound may be prepared according to R. Z. Sterzycki, M. M. Mansuri and J. C. Martin, Eur. Pat. Appl. (1990) EP 391411. 2'-Deoxy-2'-methoxyuridine is available commercially from ICN Biomedicals Inc., Cat. No. 104991.

Example 252

The compound may be prepared according to E. Lescrinier et al, Nucleosides and Nucleotides, 1996, 15, 1863. In a manner analogous to that described in Example 38 starting with 6-chloro-9-(β-D-ribofuranosyl)purine was prepared 6-ethylamino-9-(β-D-ribofuranosyl)purine; mass spectrum(ESI) m/z 296 $[M+H]^+$.

Example 253

The compound may be prepared according to E. Lescrinier et al, Nucleosides and Nucleotides, 1996, 15, 1863. In a manner analogous to that described in Example 38 starting with 6-chloro-9-(β-D-ribofuranosyl)purine was prepared 6-propylamino-9-(β-D-ribofuranosyl)purine; mass spectrum(ESI) m/z 310 $[M+H]^+$.

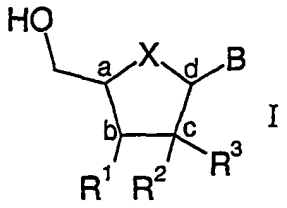
It will be understood that references herein to treatment extend to prophylaxis as well as to the treatment of existing conditions, and that the treatment of animals includes the treatment of humans as well as other mammals. Furthermore, treatment of an Hepatitis C Virus (HCV) infection, as used herein, also includes treatment or prophylaxis of a disease or a condition associated with or mediated by Hepatitis C Virus (HCV) infection, or the clinical symptoms thereof.

In the present specification "comprise" means "includes or consists of" and "comprising" means "including or consisting of".

The features disclosed in the foregoing description, or the following claims, or the accompanying drawings, expressed in their specific forms or in terms of a means for performing the disclosed function, or a method or process for attaining the disclosed result, as appropriate, may, separately, or in any combination of such features, be utilised for realising the invention in diverse forms thereof.

Claims

1. Use of compounds of formula I



I

wherein

R^1 is hydrogen, hydroxy, alkyl, hydroxyalkyl, alkoxy, halogen, cyano, isocyano or azido;

R^2 is hydrogen, hydroxy, alkoxy, chlorine, bromine or iodine;

R^3 is hydrogen; or

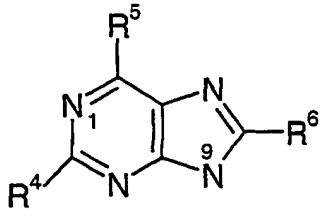
R^2 and R^3 together represent $=CH_2$; or

R^2 and R^3 represent fluorine;

X is O, S or CH_2;

a, b, c, d denoting asymmetric carbon atoms each of which is substituted with 4 different substituents; and

B signifies a purine base B1 which is connected through the 9-nitrogen of formula



B1

wherein

R^4 is hydrogen, hydroxy, alkyl, alkoxy, alkylthio, aryloxy, arylthio, heterocyclyl, NR^7R^8, halogen or SH;

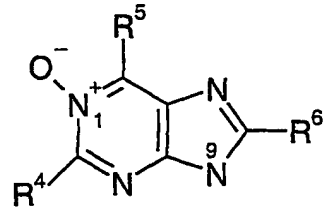
R^5 is hydrogen, hydroxy, alkyl, haloalkyl, cycloalkyl, alkoxy, alkylthio, aryl, aryloxy, arylthio, heterocyclyl, heterocyclylamino, halogen, NR^7R^8, $NHOR^9$, $NHNR^7R^8$ or SH;

R^6 is hydrogen, hydroxy, alkyl, alkoxy, alkylthio, aryloxy, arylthio, heterocyclyl, NR^7R^8, halogen, SH or cyano;

R^7 and R^8 are independently of each other hydrogen, alkyl, aryl, hydroxyalkyl, alkenylalkyl, alkynylalkyl, cycloalkyl or acyl;

R^9 is hydrogen, alkyl or aryl; or

B signifies an oxidised purine base B2 which is connected through the 9-nitrogen of formula

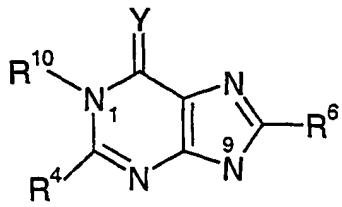


B2

wherein

R^4, R^5 and R^6 are as defined above; or

B signifies a purine base B3 which is connected through the 9-nitrogen of formula



B3

wherein

R^4 and R^6 are as defined above;

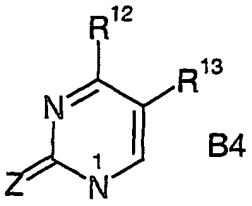
R^{10} is hydrogen, alkyl or aryl;

Y is O, S or NR^{11};

R^{11} is hydrogen, hydroxy, alkyl, OR^9, heterocyclyl or NR^7R^8;

R^7, R^8 and R^9 are as defined above; or

B signifies a pyrimidine base B4 which is connected through the 1-nitrogen of formula



wherein

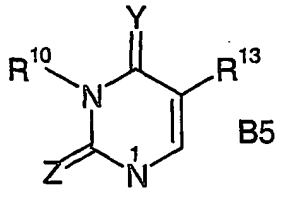
Z is O or S;

R^{12} is hydrogen, hydroxy, alkyl, alkoxy, haloalkyl, alkylthio, aryl, aryloxy, arylthio, heterocyclyl, heterocyclylamino, halogen, NR^7R^8, $NHOR^9$, $NHNR^7R^8$ or SH;

R^{13} is hydrogen, alkyl, hydroxyalkyl, alkoxyalkyl, haloalkyl, cycloalkyl or halogen;

R^7, R^8 and R^9 are as defined above; or

B signifies a pyrimidine base B5 which is connected through the 1-nitrogen of formula



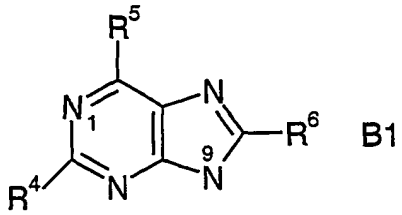
wherein

Y, Z, R^{10} and R^{13} are as defined above;

for the treatment of diseases mediated by the Hepatitis C Virus (HIV) or for the preparation of a medicament for such treatment.

2. Use of compounds of formula I as claimed in claim 1 wherein

B signifies a purine base B1 which is connected through the 9-nitrogen of formula



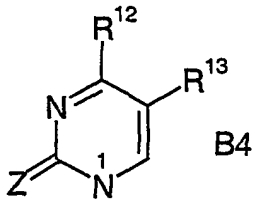
B1

wherein

R^4, R^5, R^6, R^7, R^8 and R^9 are as defined in claim 1;

with the proviso that R^4 is not NH_2 and R^5 is not $NH(CH_3)$; or

B signifies a pyrimidine base B4 which is connected through the 1-nitrogen of formula



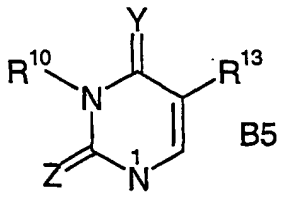
B4

wherein

Z, R^7, R^8, R^9, R^{12}, R^{13} are as defined in claim 1;

with the proviso that R^{12} is not hydroxy, alkoxy, $N(CH_3)_2$, $N(H)NH(CH_3)$ or $N(H)NH_2$ and R^{13} is not hydroxyalkyl, chlorine or bromine; or

B signifies a pyrimidine base B5 which is connected through the 1-nitrogen of formula



B5

wherein

Y, Z, R^{10} and R^{13} are as defined in claim 1;

with the proviso that R^{10} is not methyl or hydroxyethyl.

3. Use of compounds of formula I as claimed in claims 1 or 2 wherein

R^1 is hydrogen, hydroxy, alkyl, hydroxyalkyl, alkoxy, halogen;

R^2 is hydrogen, hydroxy, alkoxy, chlorine, bromine or iodine;

R^3 is hydrogen; or

R^2 and R^3 represent fluorine;

X is O;

a, b, c and d denoting asymmetric carbon atoms and forming a D-ribofuranosyl ring.

4. Use of compounds of formula I as claimed in any one of claims 1 to 3 wherein

R^1 is hydroxy;

R^2 is hydroxy;

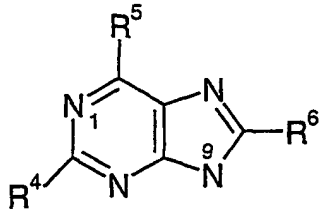
R^3 is hydrogen; or

X is O;

a, b, c and d denoting asymmetric carbon atoms and forming a β-D-ribofuranosyl ring.

5. Use of compounds of formula I as claimed in any one of claims 1, 3 or 4 wherein

B signifies a purine base B1 which is connected through the 9-nitrogen of formula



B1

wherein

R^4 is hydrogen, hydroxy, alkyl, alkoxy, alkylthio, aryloxy, arylthio, heterocyclyl, NR^7R^8, halogen or SH;

R^5 is hydrogen, hydroxy, alkyl, haloalkyl, cycloalkyl, alkoxy, alkylthio, aryl, aryloxy, arylthio, heterocyclyl, heterocyclylamino, halogen, NR^7R^8, $NHOR^9$, $NHNR^7R^8$ or SH;

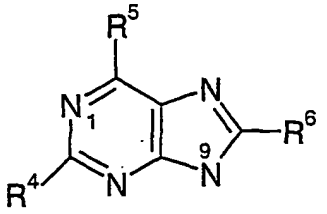
R^6 is hydrogen, hydroxy, alkyl, alkoxy, alkylthio, aryloxy, arylthio, heterocyclyl, NR^7R^8, halogen, SH or cyano;

R^7 and R^8 are independently of each other hydrogen, alkyl, aryl, hydroxyalkyl, alkenylalkyl, alkynylalkyl, cycloalkyl or acyl;

R^9 is hydrogen, alkyl or aryl.

6. Use of compounds of formula I as claimed in any one of claims 1 or 3 to 5 wherein

B signifies a purine base B1 which is connected through the 9-nitrogen of formula



B1

wherein

R^4 is hydrogen, chlorine or NH_2;

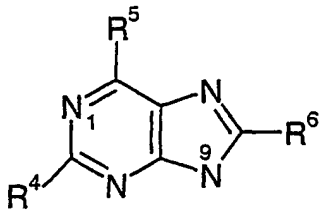
R^5 is hydroxy, alkylthio, aryl, heterocyclyl, halogen, NR^7R^8 or SH;

R^6 is hydrogen, halogen, heterocyclyl or NR^7R^8;

R^7 and R^8 are independently of each other hydrogen, alkyl, aryl, alkenylalkyl or alkynylalkyl.

7. Use of compounds of formula I as claimed in any one of claims 1 or 3 to 6 wherein

B signifies a purine base B1 which is connected through the 9-nitrogen of formula



B1

wherein

R^4 is hydrogen;

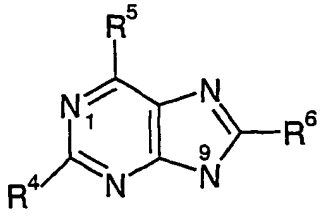
R^5 is alkylthio, aryl, heterocyclyl, halogen or NR^7R^8;

R^6 is hydrogen or halogen;

R^7 and R^8 are independently of each other hydrogen, alkyl, alkenylalkyl or alkynylalkyl.

8. Use of compounds of formula I as claimed in claim 2 wherein

B signifies a purine base B1 which is connected through the 9-nitrogen of formula



B1

wherein

R^4 is hydrogen, hydroxy, alkyl, alkoxy, alkylthio, aryloxy, arylthio, heterocyclyl, NR^7R^8, halogen or SH;

R^5 is hydrogen, hydroxy, alkyl, haloalkyl, cycloalkyl, alkoxy, alkylthio, aryl, aryloxy, arylthio, heterocyclyl, heterocyclylamino, halogen, NR^7R^8, $NHOR^9$, $NHNR^7R^8$ or SH;

R^6 is hydrogen, hydroxy, alkyl, alkoxy, alkylthio, aryloxy, arylthio, heterocyclyl, NR^7R^8, halogen, SH or cyano;

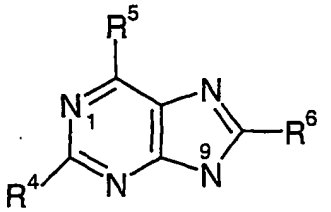
R^7 and R^8 are independently of each other hydrogen, alkyl, aryl, hydroxyalkyl, alkenylalkyl, alkynylalkyl, cycloalkyl or acyl;

R^9 is hydrogen, alkyl or aryl;

with the proviso that R^4 is not NH_2 and R^5 is not $NH(CH_3)$.

9. Use of compounds of formula I as claimed in any one of claims 2 or 8 wherein

B signifies a purine base B1 which is connected through the 9-nitrogen of formula



B1

wherein

R^4 is hydrogen or chlorine;

R^5 is hydroxy, alkylthio, aryl, heterocyclyl, halogen, NR^7R^8 or SH;

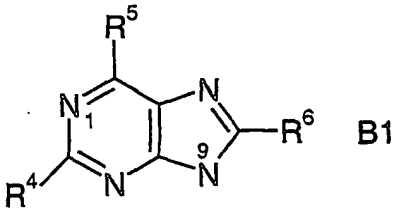
R^6 is hydrogen, halogen, heterocyclyl or NR^7R^8;

R^7 and R^8 are independently of each other hydrogen, alkyl, aryl, alkenylalkyl or alkynylalkyl;

with the proviso that R^5 is not $NH(CH_3)$.

10. Use of compounds of formula I as claimed in any one of claims 2, 8 or 9 wherein

B signifies a purine base B1 which is connected through the 9-nitrogen of formula



B1

wherein

R^4 is hydrogen;

R^5 is alkylthio, aryl, heterocyclyl, halogen or NR^7R^8;

R^6 is hydrogen or halogen;

R^7 and R^8 are independently of each other hydrogen, alkyl, alkenylalkyl or alkynylalkyl;

with the proviso that R^5 is not $NH(CH_3)$.

11. Use of a compound of formula I as claimed in claim 1 which compound is

6-Dimethylamino-9-(β-D-ribofuranosyl)purine,

6-[1(S)-Methyl-2-phenylethylamino]-9-(β-D-ribofuranosyl)purine,

3'-Deoxyadenosine,

6-(Phenylethylamino)-9-(β-D-ribofuranosyl)purine,

6-(Cyclohexylamino)-9-(β-D-ribofuranosyl)purine,

2-Chloroadenosine,

9-(β-D-Ribofuranosyl)purine,

8-Bromoadenosine,

8-Bromo-2'-deoxyadenosine,

8-Bromoguanosine,

6-Thioinosine,

6-Methylthio-9-(β-D-ribofuranosyl)purine,

6-Chloro-9-(β-D-ribofuranosyl)purine,

2-Amino-6-chloro-9-(β-D-ribofuranosyl)purine,

6-(N-Methylpropylamino)-9-(β-D-ribofuranosyl)purine,

9-(β-D-Ribofuranosyl)-6-(4-thiomorpholinyl)purine,

6-(N-Methyl-2-propenylamino)-9-(β-D-ribofuranosyl)purine,

6-(N-Methyl-2-propynylamino)-9-(β-D-ribofuranosyl)purine,

6-(4-Morpholinyl)-9-(β-D-ribofuranosyl)purine,

6-Diethylamino-9-(β-D-ribofuranosyl)purine,

6-(1(R,S)-Phenylethylamino)-9-(β-D-ribofuranosyl)purine,

6-(1-Benzyl-1-methylethylamino)-9-(β-D-ribofuranosyl)purine,

6-(3-Phenylpropylamino)-9-(β-D-ribofuranosyl)purine,

9-(β-D-Ribofuranosyl)-6-[2-(2-thienyl)ethylamino]purine,

6-Dibenzylamino-9-(β-D-ribofuranosyl)purine,

6-Hexylamino-9-(β-D-ribofuranosyl)purine,

6-(3-Pyridylmethylamino)-9-(β-D-ribofuranosyl)purine,

6-[4-(4-Fluorophenyl)-1,2,5,6-tetrahydropyridyl]-9-(β-D-ribofuranosyl)purine,

6-[4-(2-Methoxyphenyl)piperazinyl]-9-(β-D-ribofuranosyl)purine,

6-[2-(3-Indolyl)ethylamino]-9-(β-D-ribofuranosyl)purine,

6-[2-(4-Chlorophenyl)ethylamino)]-9-(β-D-ribofuranosyl)purine,

6-(N-Methylphenylamino)-9-(β-D-ribofuranosyl)purine,

9-(β-D-Ribofuranosyl)-6-(1,2,4,5-tetrahydro-3H-benzazepin-3-yl)purine,

9-(β-D-Ribofuranosyl)-6-(1,2,3,4-tetrahydro-2-isoquinolyl)purine,

6-(4-Methylpiperazinyl)-9-(β-D-ribofuranosyl)purine,

9-(β-D-Ribofuranosyl)-6-(1,3,4,5-tetrahydro-2H-benzazepin-2-yl)purine,

6-[2-(4-Cyanomethylphenyl)ethylamino]-9-(β-D-ribofuranosyl)purine,

6-(2,3-Dihydro-1-indolyl)- 9-(β-D-ribofuranosyl)purine,

9-(β-D-Ribofuranosyl)-6-(2,3,4,5-tetrahydro-1,4-benzothiazepin-4-yl)purine,

9-(β-D-Ribofuranosyl)-6-(2,3,4,5-tetrahydro-1,4-benzoxazepin-4-yl)purine,

6-(8-Aminosulphonyl-2,3,4,5-tetrahydro-1H-2-benzazepin-2-yl)-9-(β-D-ribofuranosyl)purine,

6-[2-(3,4-Dimethoxyphenyl)ethylamino)-9-(β-D-ribofuranosyl)purine,

6-[-2-(4-Hydroxyphenyl)ethylamino]-9-(β-D-ribofuranosyl)purine,

6-(2-Isoindolinyl)-9-(β-D-ribofuranosyl)purine,

6-(7-Aminosulphonyl-2,3,4,5-tetrahydro-1H-benzazepin-3-yl)-9-(β-D-ribofuranosyl)purine,

6-(N-Cyclohexylmethylamino)-9-(β-D-ribofuranosyl)purine,

6-(N-Hexylmethylamino)-9-(β-D-ribofuranosyl)purine,

6-(10,11-Dihydro-5H-dibenzo[a,d]cyclohepten-5-ylamino)-9-(β-D-ribofuranosyl)purine,

6-[N-(10,11-Dihydro-5H-dibenzo[a,d]cyclohepten-5-yl)methylamino]-9-(β-D-ribofuranosyl)purine,

6-[N-(5-Aminopentyl)methylamino]-9-(β-D-ribofuranosyl)purine,

6-[(5-Chloro-2-methoxyphenyl)methylamino]-9-(β-D-ribofuranosyl)purine,

6-[(2-Methylphenyl)methylamino]-9-(β-D-ribofuranosyl)purine,

6-(Hexamethyleneimino)-9-(β-D-ribofuranosyl)purine,

6-(1-Pyrrolidinyl)-9-(β-D-ribofuranosyl)purine,

6-(4-Hydroxypiperidin-1-yl)- 9-(β-D-ribofuranosyl)purine,

6-(1-Piperidinyl)-9-(β-D-ribofuranosyl)purine,

6-(2-Propenyl)amino-9-(β-D-ribofuranosyl)purine,

6-(2-Propynyl)amino-9-(β-D-ribofuranosyl)purine,

6-(1-Methyl)ethylamino-9-(β-D-ribofuranosyl)purine,

6-bis-(2-Propenyl)amino-9-(β-D-ribofuranosyl)purine,

6-(2-Phenylethyl)methylamino-9-(β-D-ribofuranosyl)purine,

6-Ethylmethylamino- 9-(β-D-ribofuranosyl)purine,

6-bis-[(3-Methyl)butylamino]-9-(β-D-ribofuranosyl)purine,

6-(4-Aminophenyl)methylamino-9-(β-D-ribofuranosyl)purine,

6-(2-Pyridylmethyl)amino-9-(β-D-ribofuranosyl)purine,

6-(2-Hydroxyethyl)methylamino-9-(β-D-ribofuranosyl)purine,

6-Dipropylamino-9-(β-D-ribofuranosyl)purine,

6-[2-Phenyl-(N-propionyl)ethylamino]-9-(β-D-ribofuranosyl)purine,

6-(N-Benzoyl-2-phenylethylamino)-9-(β-D-ribofuranosyl)purine,

2-Amino-6-methylamino-9-(β-L-ribofuranosyl)purine,

2-Amino-6-methylamino-9-(β-D-ribofuranosyl)purine,

2-Amino-6-(4-morpholinyl)-9-(β-D-ribofuranosyl)purine,

2-Amino-6-(1-pyrrolidinyl)-9-(β-D-ribofuranosyl)purine,

2,6-Diamino-9-(β-L-ribofuranosyl)purine,

2,6-Diamino-9-(β-D-ribofuranosyl)purine,

2-Chloro-6-(1-pyrrolidinyl)-9-(β-D-ribofuranosyl)purine,

2-Chloro-6-(1-hexamethyleneimino)-9-(β-D-ribofuranosyl)purine,

2-Chloro-6-(4-hydroxy-1-piperidinyl)-9-(β-D-ribofuranosyl)purine,

6-[(N-Cyclohexyl)methylamino]-2-methylthio-9-(β-D-ribofuranosyl)purine,

6-(1-Pyrrolyl)-9-(β-D-ribofuranosyl)purine,

6-(1-Pyrrolyl)-9-(β-D-arabinofuranosyl)purine,

6-(1-Pyrrolyl)-9-(β-D-ribofuranosyl)purin-8-(7H)-one,

9-(3-Deoxy-β-D-ribofuranosyl)-6-(1-pyrrolyl) purine,

6-(1-Pyrrolyl)-9-(β-L-ribofuranosyl)purine,

6-(1-Indolyl)-9-(β-D-ribofuranosyl)purine,

6-(1-Imidazolyl)-9-(β-D-ribofuranosyl)purine,

9-(β-D-Ribofuranosyl)-6-(1,2,4-triazol-1-yl)purine,

6-(1-Pyrazolyl)- 9-(β-D-ribofuranosyl)purine,

9-(β-D-Ribofuranosyl) 6-(1,2,4-triazol-4-yl)purine,

6-Methylamino-9-(β-D-ribofuranosyl)purin-2(1H)-one,

2-Methoxy-6-methylamino-9-(β-D-ribofuranosyl)purine,

2-Methoxyadenosine,

2,6-Dichloro-9-(β-D-ribofuranosyl)purine,

6-Methoxy-9-(β-D-ribofuranosyl)purine,

2-Amino-6-benzylthio-9-(β-D-ribofuranosyl)purine,

6-Benzylthio-2-hydroxy-9-(β-D-ribofuranosyl)purine,

9-(β-D-Ribofuranosyl)purine-2,6,8(1H,3H,7H)-trione,

8-(Methylamino)adenosine,

8-(2-Phenylethylamino)adenosine,

8-Benzylaminoadenosine,

8-(1-Piperidinyl)adenosine,

8-(Dimethylamino)adenosine,

8-(3-Phenylpropylamino)adenosine,

8-(4-Morpholinyl)adenosine,

8-(N-Methyl-2-phenylethylamino)adenosine,

8-(3-Pyridylmethylamino)adenosine,

8-(Ethylamino)adenosine,

8-(1,2,3,4-Tetrahydro-2-isoquinolyl)adenosine,

8-[2-(4-Morpholinyl)ethylamino]adenosine,

8-(Hexylamino)adenosine,

8-(2-Cyclohexylethylamino)adenosine,

8-(2(R,S)-Phenylpropylamino)adenosine,

8-[2-(4-Methylphenyl) ethylamino]adenosine,

8-[2-(1-methyl-2-pyrrolyl) ethylamino]adenosine,

8-[2-(4-Aminosulphonylphenyl) ethylamino]adenosine,

8-(4-Phenyl-1-piperazinyl)adenosine,

8-(2-(4-Imidazolyl)adenosine,

8-(1-Naphthylmethylamino)adenosine,

8-[2-(4-Hydroxyphenyl)ethylamino]adenosine,

8-(4-Phenylbutylamino)adenosine,

8-[2-(4-Chlorophenyl)ethylamino]adenosine,

8-[2-(2,4-Dichlorophenyl)ethylamino]adenosine,

8-(2-Propenylamino)adenosine,

8-(2-Hydroxyethylamino)adenosine,

8-(1(R)-Methyl-2-phenylethylamino)adenosine,

8-(4-Fluorobenzylamino)adenosine,

8-[(4-Hydroxycarbonyl)benzylamino]adenosine,

8-(2-Propynylamino)adenosine,

8-(1-Methylethylamino)adenosine,

8-[(4-Trifluoromethyl)benzylamino]adenosine,

8-[(2,5-Dimethoxy)benzylamino]adenosine,

8-[2-(2-Thienyl)ethylamino]adenosine,

8-[2-(4-Aminophenyl)ethylamino]adenosine,

8-(2-Phenoxyethylamino)adenosine,

8-[(2-Thienyl)methylamino)adenosine,

8-[(4-tert-Butyl)benzylamino]adenosine,

8-(1(R)-Phenylethylamino)adenosine,

8-(1(S)-Phenylethylamino)adenosine,

8-(6-Phenylhexylamino)adenosine,

8-[2-Hydroxy-1(S)-phenyl)ethylamino]adenosine,

2'-Deoxy-8-(2-phenylethylamino)adenosine,

2'-Deoxy-8-(3-phenylpropylamino)adenosine,

8-Benzylamino-2'-deoxyadenosine,

2'-Deoxy-8-(4-phenylbutylamino)adenosine,

2'-Deoxy-8-(6-phenylhexylamino)adenosine,

8-(4-Morpholinyl)inosine,

8-(Methylthio)adenosine,

8-(Benzylthio)adenosine,

8-(Benzyloxy)adenosine,

8-Ethoxyadenosine,

8-[(1-Hydroxy-1-methyl)ethyl]adenosine,

9-(β-D-ribofuranosyl)-6-(3-thienyl)purine,

6-Phenyl-9-(β-D-ribofuranosyl) purine,

6-(4-Fluorophenyl)-9-(β-D-ribofuranosyl) purine,

6-(4-Chlorophenyl)-9-(β-D-ribofuranosyl) purine,

6-(4-Methylphenyl)-9-(β-D-ribofuranosyl) purine,

6-(4-Methoxyphenyl)-9-(β-D-ribofuranosyl) purine,

9-(β-D-Ribofuranosyl)-6-(1-thianthrenyl)purine,

6-(4-Biphenylyl)-9-(β-D-ribofuranosyl) purine,

6-(4-Methylthiophenyl)-9-(β-D-ribofuranosyl) purine,

6-(2-Methylphenyl)-9-(β-D-ribofuranosyl) purine,

6-(9-Phenanthrenyl)-9-(β-D-ribofuranosyl)purine,

9-(β-D-Ribofuranosyl)-6-(3-trifluoromethylphenyl)purine,

6-(2-Phenoxyphenyl)-9-(β-D-ribofuranosyl) purine,

6-(4-tert-Butylphenyl)-9-(β-D-ribofuranosyl) purine,

9-(β-D-Ribofuranosyl)-6-(2-trifluoromethoxyphenyl)purine,

6-(4-Phenoxyphenyl)-9-(β-D-ribofuranosyl)purine,

6-(3-Methoxyphenyl)-9-(β-D-ribofuranosyl) purine,

6-(2-Naphthyl)-9-(β-D-ribofuranosyl)purine,

6-(3-Biphenylyl)-9-(β-D-ribofuranosyl)purine,

6-[4-(2-Methylpropyl)phenyl]-9-(β-D-ribofuranosyl)purine,

6-(3-Fluorophenyl)-9-(β-D-ribofuranosyl)purine,

9-(β-D-Ribofuranosyl)-6-(4-trifluoromethylphenyl)purine,

6-(3-Ethoxyphenyl)-9-(β-D-ribofuranosyl)purine,

6-[3-(1-Methyl)ethylphenyl]-9-(β-D-ribofuranosyl)purine,

9-(β-D-ribofuranosyl)-6-(4-trifluoromethoxyphenyl)purine,

6-(4-Ethylphenyl)-9-(β-D-ribofuranosyl)purine,

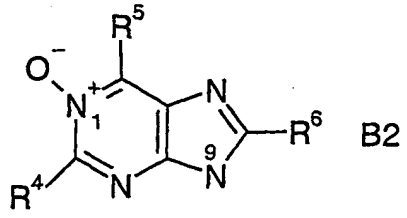
2-Amino-6-phenyl-9-(β-D-ribofuranosyl)purine,

6-Ethylamino-9-(β-D-ribofuranosyl)purine, or

6-Propylamino-9-(β-D-ribofuranosyl)purine.

12. Use of compounds of formula I as claimed in any one of claims 1 to 4 wherein

B signifies an oxidised purine base B2 which is connected through the 9-nitrogen of formula



B2

wherein

R^4 is hydrogen, hydroxy, alkyl, alkoxy, alkylthio, aryloxy, arylthio, heterocyclyl, NR^7R^8, halogen or SH;

R^5 is hydrogen, hydroxy, alkyl, haloalkyl, cycloalkyl, alkoxy, alkylthio, aryl, aryloxy, arylthio, heterocyclyl, heterocyclylamino, halogen, NR^7R^8, $NHOR^9$, $NHNR^7R^8$ or SH;

R^6 is hydrogen, hydroxy, alkyl, alkoxy, alkylthio, aryloxy, arylthio, heterocyclyl, NR^7R^8, halogen, SH or cyano;

R^7 and R^8 are independently of each other hydrogen, alkyl, aryl, hydroxyalkyl, alkenylalkyl, alkynylalkyl, cycloalkyl or acyl;

R^9 is hydrogen, alkyl or aryl.

13. Use of compounds of formula I as claimed in any one of claims 1 to 4 or 12 wherein

R^4 is hydrogen;

R^5 is hydrogen, alkyl, heterocyclyl or NR^7R^8;

R^6 is hydrogen;

R^7 and R^8 are independently of each other hydrogen, alkyl, aryl, hydroxyalkyl, alkenylalkyl, alkynylalkyl, cycloalkyl or acyl.

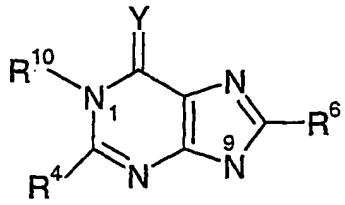
14. Use of a compound of formula I as claimed in any one of claims 1 to 4 or 12 to 13 which compound is

Adenosine-1-oxide, or

6-(2-Phenylethylamino)- 9-(β-D-ribofuranosyl)purine-1-oxide.

15. Use of compounds of formula I as claimed in any one of claims 1 to 4 wherein

B signifies a purine base B3 which is connected through the 9-nitrogen of formula



B3

wherein

R^4 is hydrogen, hydroxy, alkyl, alkoxy, alkylthio, aryloxy, arylthio, heterocyclyl, NR^7R^8, halogen or SH;

R^6 is hydrogen, hydroxy, alkyl, alkoxy, alkylthio, aryloxy, arylthio, heterocyclyl, NR^7R^8, halogen, SH or cyano;

R^7 and R^8 are independently of each other hydrogen, alkyl, aryl, hydroxyalkyl, alkenylalkyl, alkynylalkyl, cycloalkyl or acyl;

R^9 is hydrogen, alkyl or aryl;

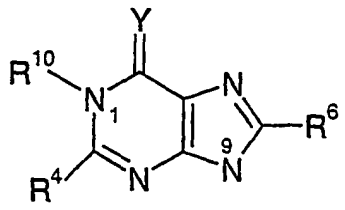
R^{10} is hydrogen, alkyl or aryl;

Y is O, S or NR^{11};

R^{11} is hydrogen, hydroxy, alkyl, OR^9, heterocyclyl or NR^7R^8.

16. Use of compounds of formula I as claimed in any one of claims 1 to 4 or 15 wherein

B signifies a purine base B3 which is connected through the 9-nitrogen of formula



B3

R^4 is hydrogen, NR^7R^8 or hydroxy;

R^6 is hydrogen, halogen or NR^7R^8;

R^7 and R^8 are independently of each other hydrogen or alkyl;

R^{10} is hydrogen or alkyl;

Y is O, S, NH or N-alkyl.

17. Use of a compound of formula I as claimed in claim 1 which compound is

3'-Deoxyguanosine,

6-Thioguanosine,

Inosine,

L-Inosine,

8-Bromoinosine,

1-Benzyl-6-imino-9-(β-D-ribofuranosyl)purine,

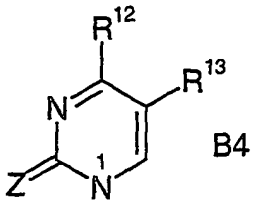
1-Methyl-6-(2-phenylethylimino)-9-(β-D-ribofuranosyl)purine,

2-(Acetylamino)inosine, or

8-(Benzylamino)inosine.

18. Use of compounds of formula I as claimed in any one of claims 1, 3 or 4 wherein

B signifies a pyrimidine base B4 which is connected through the 1-nitrogen of formula



B4

wherein

Z is O or S;

R^{12} is hydrogen, hydroxy, alkyl, alkoxy, haloalkyl, alkylthio, aryl, aryloxy, arylthio, heterocyclyl, heterocyclylamino, halogen, NR^7R^8, $NHOR^9$, $NHNR^7R^8$ or SH;

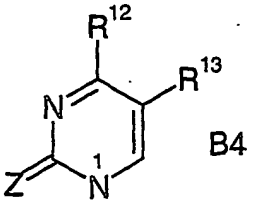
R^{13} is hydrogen, alkyl, hydroxyalkyl, alkoxyalkyl, haloalkyl, cycloalkyl or halogen;

R^7 and R^8 are independently of each other hydrogen, alkyl, aryl, hydroxyalkyl, alkenylalkyl, alkynylalkyl, cycloalkyl or acyl;

R^9 is hydrogen, alkyl or aryl.

19. Use of compounds of formula I as claimed in any one of claims 1, 3, 4 or 18 wherein

B signifies a pyrimidine base B4 which is connected through the 1-nitrogen of formula



B4

wherein

Z is O;

R^{12} is hydroxy, alkyl, heterocyclyl, NR^7R^8, $NHOR^9$, heterocyclylamino, $NHNR^7R^8$ or SH;

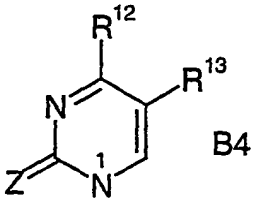
R^{13} is hydrogen, alkyl or halogen;

R^7 and R^8 are independently of each other hydrogen, alkyl, aryl, hydroxyalkyl, alkenylalkyl, alkynylalkyl, cycloalkyl or acyl;

R^9 is hydrogen, alkyl or aryl.

20. Use of compounds of formula I as claimed in any one of claims 1, 3, 4, 18 or 19 wherein

B signifies a pyrimidine base B4 which is connected through the 1-nitrogen of formula



wherein

Z is O;

R^{12} is hydroxy, alkyl or NR^7R^8;

R^{13} is hydrogen;

R^7 and R^8 are independently of each other hydrogen or alkyl.

21. Use of compounds of formula I as claimed in claim 1 wherein

R^1 is hydrogen, halogen, hydroxy, alkyl, alkoxy, cyano or azido;

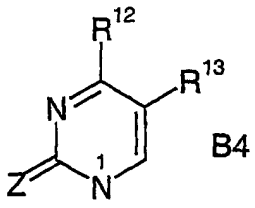
R^2 is hydrogen or hydroxy; or

R^2 and R^3 represent fluorine;

X is O or CH_2;

a, b, c, d denoting asymmetric carbon atoms each of which is substituted with 4 different substituents; and

B signifies a pyrimidine base B4 which is connected through the 1-nitrogen of formula



wherein

Z is O;

R^{12} is NR^7R^8;

R^{13} is hydrogen, alkyl or halogen;

R^7 and R^8 are independently of each other hydrogen or alkyl.

22. Use of compounds of formula I as claimed in claim 1 or 21 wherein

R^1 is hydrogen, fluorine, hydroxy, C_{1-4}-alkyl, C_{1-4}-alkoxy, cyano or azido;

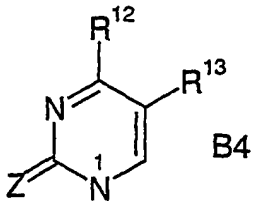
R^2 is hydrogen or hydroxy; or

R^2 and R^3 represent fluorine;

X is O or CH_2;

a, b, c, d denoting asymmetric carbon atoms each of which is substituted with 4 different substituents; and

B signifies a pyrimidine base B4 which is connected through the 1-nitrogen of formula



wherein

Z is O;

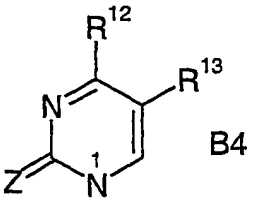
R^{12} is NR^7R^8;

R^{13} is hydrogen, C_{1-4}-alkyl or fluorine;

R^7 and R^8 are independently of each other hydrogen or C_{1-4}-alkyl.

23. Use of compounds of formula I as claimed in claim 2 wherein

B signifies a pyrimidine base B4 which is connected through the 1-nitrogen of formula



wherein

Z is O or S;

R^{12} is hydrogen, alkyl, haloalkyl, alkylthio, aryl, aryloxy, arylthio, heterocyclyl, heterocyclylamino, halogen, NR^7R^8, $NHOR^9$, $NHNR^7R^8$ or SH;

R^{13} is hydrogen, alkyl, hydroxyalkyl, alkoxyalkyl, haloalkyl, cycloalkyl or halogen;

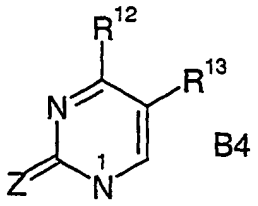
R^7 and R^8 are independently of each other hydrogen, alkyl, aryl, hydroxyalkyl, alkenylalkyl, alkynylalkyl, cycloalkyl or acyl;

R^9 is hydrogen, alkyl or aryl;

with the proviso that R^{12} is not $N(CH_3)_2$, $N(H)NH(CH_3)$ or $N(H)NH_2$ and R^{13} is not hydroxyalkyl, chlorine or bromine.

24. Use of compounds of formula I as claimed in any one of claims 2 or 23 wherein

B signifies a pyrimidine base B4 which is connected through the 1-nitrogen of formula



wherein

Z is O;

R^{12} is alkyl, heterocyclyl, NR^7R^8, $NHOR^9$, heterocyclylamino, $NHNR^7R^8$ or SH;

R^{13} is hydrogen, alkyl or halogen;

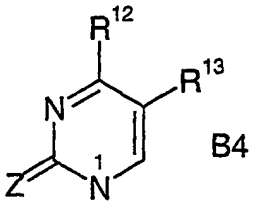
R^7 and R^8 are independently of each other hydrogen, alkyl, aryl, hydroxyalkyl, alkenylalkyl, alkynylalkyl, cycloalkyl or acyl;

R^9 is hydrogen, alkyl or aryl;

with the proviso that R^{12} is not $N(CH_3)_2$, $N(H)NH(CH_3)$ or $N(H)NH_2$ and R^{13} is not hydroxyalkyl, chlorine or bromine.

25. Use of compounds of formula I as claimed in any one of claims 2, 23 or 24 wherein

B signifies a pyrimidine base B4 which is connected through the 1-nitrogen of formula



wherein

Z is O;

R^{12} is alkyl or NR^7R^8;

R^{13} is hydrogen;

R^7 and R^8 are independently of each other hydrogen or alkyl;

with the proviso that R^{12} is not $N(CH_3)_2$, $N(H)NH(CH_3)$ or $N(H)NH_2$.

26. Use of a compound of formula I as claimed in claim 1 which compound is

4-Thiouridine,

5-Fluorocytidine,

1-(β-D-arabinofuranosyl)-5-fluorocytosine,

5-Methylcytidine,

2',3'-Dideoxycytidine,

N4-Acetylcytidine,

3'-Deoxycytidine,

4-Methoxy-1-(β-D-ribofuranosyl)pyrimidin-2(1H)-one,

4-Methylthio-1-(β-D-ribofuranosyl)pyrimidin-2(1H)-one,

5-Fluoro-4-methylthio-1-(β-D-ribofuranosyl)pyrimidin-2(1H)-one,

5-Methyl-4-methylthio-1-(β-D-ribofuranosyl)pyrimidin-2(1H)-one,

3'-Azido-2',3'-dideoxy-5-methylcytidine,

1-(3-Deoxy-β-L-threo-pentofuranosyl)-5-fluorocytosine,

4-Methylamino-1-(β-D-ribofuranosyl)pyrimidin-2(1H)-one,

5-Fluoro-4-methylamino-1-(β-D-ribofuranosyl)pyrimidin-2(1H)-one,

4-(1-Pyrrolyl)-1-(β-D-ribofuranosyl)pyrimidin-2(1H)-one,

1-(2-Deoxy-2,2-difluoro-β-D-erythropentofuranosyl)cytosine,

4-Amino-1(R)-(2(S),3(R)-dihydroxy-4(R)-hydroxymethyl-cyclopentyl)-1H-pyrimidin-2-one,

1-(β-D-Xylofuranosyl)cytosine,

1-(3-Deoxy-3-fluoro-β-D-xylofuranosyl)cytosine, or

3'-Deoxy-3'-hydroxymethylcytidine.

27. Use of compounds of formula I as claimed in claim 2 wherein

R^1 is hydrogen, halogen, hydroxy, alkyl, alkoxy, cyano or azido;

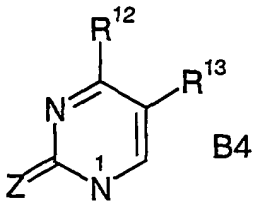
R^2 is hydrogen or hydroxy; or

R^2 and R^3 represent fluorine;

X is O or CH_2;

a, b, c, d denoting asymmetric carbon atoms each of which is substituted with 4 different substituents; and

B signifies a pyrimidine base B4 which is connected through the 1-nitrogen of formula



wherein

Z is O;

R^{12} is NR^7R^8;

R^{13} is hydrogen, alkyl or halogen;

R^7 and R^8 are independently of each other hydrogen or alkyl;

with the proviso that R^{12} is not $N(CH_3)_2$ and R^{13} is not chlorine or bromine.

28. Use of compounds of formula I as claimed in claim 2 or 27 wherein

R^1 is hydrogen, fluorine, hydroxy, C_{1-4}-alkyl, C_{1-4}-alkoxy, cyano or azido;

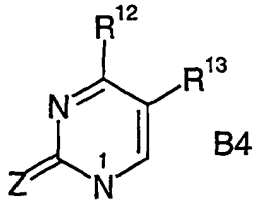
R^2 is hydrogen or hydroxy; or

R^2 and R^3 represent fluorine;

X is O or CH_2;

a, b, c, d denoting asymmetric carbon atoms each of which is substituted with 4 different substituents; and

B signifies a pyrimidine base B4 which is connected through the 1-nitrogen of formula



wherein

Z is O;

R^{12} is NR^7R^8;

R^{13} is hydrogen, C_{1-4}-alkyl or fluorine;

R^7 and R^8 are independently of each other hydrogen or C_{1-4}-alkyl;

with the proviso that R^{12} is not $N(CH_3)_2$.

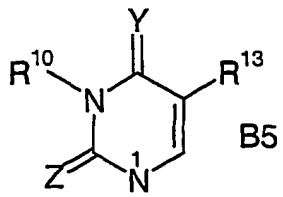
29. Use of a compound of formula I as claimed in any one of claims 1, 21, 22, 27 or 28 which compound is

L-Cytidine, or

4-Amino-1-(2,2-difluoro-3-hydroxy-4-hydroxymethyl-cyclopentyl)-1H-pyrimidin-2-one.

30. Use of compounds of formula I as claimed in any one of claims 1, 3 or 4 wherein

B signifies a pyrimidine base B5 which is connected through the 1-nitrogen of formula



wherein

Y is O, S or NR^{11};

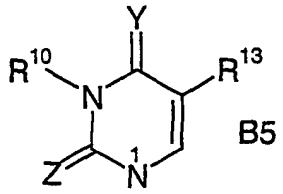
Z is O or S;

R^{10} is hydrogen, alkyl or aryl;

R^{13} is hydrogen, alkyl, hydroxyalkyl, alkoxyalkyl, haloalkyl, cycloalkyl or halogen.

31. Use of compounds of formula I as claimed in any one of claims 1 to 4 or 30 wherein

B signifies a pyrimidine base B5 which is connected through the 1-nitrogen of formula



wherein

Y is O or NR^{11};

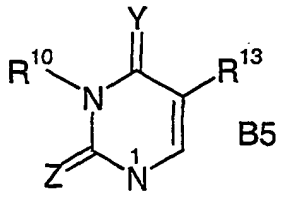
Z is O;

R^{10} is hydrogen;

R^{13} is hydrogen, alkyl or halogen.

32. Use of compounds of formula I as claimed in claim 2 wherein

B signifies a pyrimidine base B5 which is connected through the 1-nitrogen of formula



wherein

Y is O, S or NR^{11};

Z is O or S;

R^{10} is hydrogen, alkyl or aryl;

R^{13} is hydrogen, alkyl, hydroxyalkyl, alkoxyalkyl, haloalkyl, cycloalkyl or halogen;

with the proviso that R^{10} is not methyl or hydroxyethyl.

33. Use of a compound of formula I as claimed in claim 1 which compound is

2'-Deoxy-5-fluorouridine,

1-(β-D-Arabinofuranosyl) -5-fluorouracil,

5-Fluorouridine,

5-Bromouridine,

3-Methyluridine,

5-Methyluridine,

1-(β-D-Arabinofuranosyl)uracil,

1-(β-D-Arabinofuranosyl)-5-methyluracil,

1-(β-D-Arabinofuranosyl)-5-iodouracil,

3'-Deoxy-5-methyluridine,

5-Ethyluridine,

5-[(1-Methyl)ethyl]uridine,

5-Methoxymethyluridine,

5-Ethoxymethyluridine,

5-Chlorouridine,

5-Methyl-1-(β-L-ribofuranosyl)uracil,

1-(β-D-Arabinofuranosyl)-5-ethyluracil,

1- (β-D-Arabinofuranosyl)-5-bromo uracil,

5-Methyl-4-thiouridine,

5-Fluoro-4-thiouridine,

1-(2-Deoxy -α-D-erthyro-pentofuranosyl)-5-fluorouracil,

2'-Deoxy-5-fluoro-3-methyluridine,

1-(α-D-Erthyro-2-deoxypentofuranosyl)-5-fluoro-3-methyluracil,

2'-Chloro-2'-deoxyuridine,

2'-Bromo-2'-deoxyuridine,

1-(2-Deoxy-β-D-lyxofuranosyl)-5-methyluracil,

3'-Deoxy-3'-fluoro-5-methyluridine,

2',3'-Dideoxy-5-ethyl-3'-methoxyuridine,

5'-Benzyloxy-2',3'-dideoxy-5-methyluridine,

2',3'-Dideoxy-5-ethyl-3'-iodouridine,

3'-Azido-2',3'-dideoxy-5-ethyluridine,

4-Oximino-1-(β-L-ribofuranosyl)pyrimidin-2(1H)-one,